$$Ar \xrightarrow{S} \xrightarrow{R_1} \xrightarrow{R_2} \xrightarrow{R_2}$$

T

or a pharmaceutically-acceptable salt or prodrug thereof,

wherein R₁, R₂, R₃, R₄, and R₅ are independently selected from

- a. hydrogen,
- b. halogen,
- c. alkyl,
 - d. haloalkyl,
 - e. alkoxy,
 - f. cyano,
 - g. nitro,
- h. carboxaldehyde, and

OGELTAGE OBEIOO

10

with the proviso that at least one of R₁ or R₃ is a "cis-cinnamide" or a "transcinnamide", defined as

"cis-cinnamide"

"trans-cinnamide",

wherein R₈ and R₉ are independently selected from

- hydrogen, and
- alkyl, b.
- carboxy alkyl,
- alkylaminocarbonyl alkyl, and
- dialkylaminocarbonyl alkyl

and R₁₀ and R₁₁ are independently selected from 15

- hydrogen,
- alkyl, b.
- cycloalkyl,
- 20 alkoxycarbonylalkyl,
 - hydroxyalkyl,

5

f. substituted aryl,

- g. heterocyclyl,
- h. \heterocyclylalkyl,
- i. heterocyclylamino,
- j. substituted heterocyclyl, and
- k. substituted heterocyclylalkyl,

or where $NR_{10}R_{11}$ is heterocyclyl or substituted heterocyclyl, where substituents are independently selected from

10

- 1) alkyl,
- 2) alkoxy,
- 3) alkoxyalkyl,
- 4) cycloalkyl,
- 5) aryl,
- 6) heterocyclyl,
- 7) heterocyclylcarbonyl,
- 8) heterocyclylalkylaminocarbonyl,
- 9) hydroxy,

20

- 10) hydroxyalkyl,
- 11) hydroxyalkoxyalkyl,
- 12) carboxy,

OGSH1795 CESIOO

15

20

- 13) carboxyalkyl,
- 14) carboxycarbonyl,
- 15) carboxaldehyde,
- (16) alkoxycarbonyl,
- 17) arylalkoxycarbonyl,
- 18) aminoalkyl,
- 19) amin oalkanoyl,
- 20) carboxamido,
- 21) alkoxycarbonylalkyl,
- 22) carboxamidoalkyl,
- 23) cyano,
- 24) tetrazolyl,
- 25) substituted tetrazolyl,
- 26) alkanoyl,
- 27) hydroxyalkanoyl,
- 28) alkanoyloxy,
- 29) alkanoylamino,
- 30) alkanoyloxyalkyl,
- 31) alkanoylaminoalkyl,
- 32) sulfonate,
- 33) alkylsulfonyl,
- 34) alkylsulfonylaminocarbonyl,

35) arylsulfonylaminocarbonyl, and

36) heterocyclylsulfonylaminocarbonyl,

and wherein Ar is a substituted aryl or substituted heteroaryl group, where

- substitutions are independently selected from 5
 - hydrogen,
 - halogen,
 - alkyl,
- 10 aryl, d.
 - haloalkyl,
 - hydroxy, f.
 - alkoxy,
 - h. alkoxyalkyl,
- 15 alkoxycarbonyl, i.
 - alkoxyalkoxy, j.
 - hydroxyalkyl,
 - aminoalkyl,
 - m. aminocarbonyl,
- 20 alkyl(alkoxycarbonylalkyl)aminoalkyl,
 - heterocyclyl,
 - substituted heterocyclyl,

- q. heterocyclylalkyl,
- r. substituted heterocyclylalkyl,
- s.\ carboxaldehyde,
- t. darboxaldehyde hydrazone,
- 5 u. carboxamide,
 - v. alkoxycarbonylalkyl,
 - w. carboxx,
 - x. carboxyalkyl,
 - y. carboxyalkoxy,
- 10 z. carboxythioalkoxy,
 - aa. carboxycycloalkoxy,
 - bb. thioalkyl,
 - cc. hydroxycarbonylalkyl (carboxyalkyl),
 - dd. hydroxyalkylaminocarbonyl,
- ee. cyano,
 - ff. amino,
 - gg. heterocyclylalkylamino,
 - hh. carboxyalkylamino,
 - ii. heterocyclylalkylaminocarbonyl, and
- 20 jj. "trans-cinnamide",

or a pharmaceutically-acceptable salt or prodrug thereof.

- 50/1/65
- 2. A compound according to Claim 1 wherein R_1 is a "cis-cinnamide" or a "transcinnamide", and R_3 is hydrogen.
- 5 3. A compound according to Claim 1 wherein R₃ is a "cis-cinnamide" or a "transcinnamide", and R₁ is hydrogen.
 - 4. A compound according to Claim 1 wherein R₃ is a "cis-cinnamide" or a "trans-cinnamide", and R₁, R₈, and R₉ are hydrogen.

- 5. A compound according to Claim 4 wherein R_3 is a "cis-cinnamide".
- 6. A compound according to Claim 4 wherein R₃ is a "trans-cinnamide".
- 7. A compound according to Claim wherein R₃ is a "cis-cinnamide" or a "transcinnamide", R₁, R₂, and R₄ are each independently hydrogen or alkyl; and R₅ is selected from halogen, haloalkyl, and nitro.
 - 8. A compound according to Claim 4 wherein **Ar** is substituted aryl or substituted heteroaryl.

- A compound according to Claim 4 wherein R₁₀ and R₁₁ are each independently selected from hydrogen, alkyl, cycloalkyl, alkoxycarbonylalkyl, hydroxyalkyl, and heterocyclylalkyl.
- 5 10. A compound according to Claim 4 wherein NR₁₀R₁₁ is heterocyclyl or substituted heterocyclyl.
 - 11. A compound according to Claim 8 wherein **Ar** is selected from substituted phenyl, 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-benzopyr-2-en-4-one, indole, isatin, 1,3-quinazolin-4-one, and quinoline.
 - 12. A compound according to Claim 1 selected from the group consisting of: (2,4-Dichlorophenyl)[2-(*E*-((6-hydroxyhexylamino)carbonyl)ethenyl)phenyl] sulfide;
- 15 (2,4-Dichlorophenyl)[2-(*E*-((3-(1-imidazolyl)propylamino)carbonyl)ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((2 hydroxyethylamino)carbonyl)ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-chloro-4-(E-((6 ydroxyhexylamino)carbonyl)ethenyl)phenyl]
- 20 sulfide;
 - (2,4-Dichlorophenyl)[2-chloro-4-(*E*-((bis-(2-hydroxyethyl)amino)carbonyl)ethenyl) phenyl] sulfide;

ethenyl)phenyl] sulfide;

```
(2,4-Dichlorophenyl)[2-chloro-4-( E-((3-(1-pyrrolidin-2-only)propylamino)carbonyl)
              ethenyl)phenyl] sulfide;
              (2,4-Dichlorophenyl)[2-chloro-4-( E-((1-morpholinyl)carbonyl)ethenyl)phenyl]
              sulfide;
              (2,4-Dichlorophenyl)[2-chloro-4-( E-((4-methylpiperazin-1-
              yl)carbonyl)ethenyl)phenyl] sulfide;
              (2,4-Dichlorophen)()[2-chloro-4-( E-((4-acetylpiperazin-1-
COTHUGULOS TAGE
              yl)carbonyl)ethenyl)phenyl] sulfide;
              (2,4-Dichlorophenyl)[2-chloro-4-( E-((4-(2-pyridyl)piperazin-1-yl)carbonyl)
        10
              ethenyl)phenyl] sulfide;
              (2-(Hydroxymethyl)phenyl)[2-chloro-4-( E-((1-morpholinyl)carbonyl)
              ethenyl)phenyl] sulfide;
              (2-Bromophenyl)[2-chloro-4-(E-((1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
              (2,4-Dichlorophenyl)[2-chloro-4-( E-((\(\frac{1}{4}\)-(2-hydroxyethyl)piperazin-1-yl)carbonyl)
        15
              ethenyl)phenyl] sulfide;
              (2,4-Dichlorophenyl)[2-chloro-4-( E-((4-(2-hydroxyethoxyethyl)piperazin-1-
              yl)carbonyl) ethenyl)phenyl] sulfide;
              (2-Bromophenyl)[2-chloro-4-( E-((3-(hydroxymethyl)piperidin-1-yl)carbonyl)
              ethenyl)phenyl] sulfide;
        20
              (2-Bromophenyl)[2-chloro-4-( E-((2-(hydroxymethyl)piperidin-1-yl)carbonyl)
```

2-Bromophenyl)[2-chloro-4-(E-((3-acetamidopyrrolidin-1yl)carbonyl)ethenyl)phenyl] sulfide; (2-Bromophenyl)[2-chloro-4-(E-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide; (2-Bromophenyl)[2-chloro-4-(E-((piperidin-1-yl)carbonyl) ethenyl)phenyl] sulfide; (2,4-Dichlorophenyl)[2-chloro-4-(E-((3-carboxypiperidin-1yl)carbonyl)ethenyl)phenyl] sulfide; oostass. (2,4-Dichlorophenyl)[2-chloro-4-(E-((4-carboxypiperidin-1yl)carbonyl)ethenyl)phenyl] sulfide; 10 (2-Bromophenyl)[2-chloro-4-(E-((4-acetylhomopiperazin-1yl)carbonyl)ethenyl)phenyl]\sulfide; (2-Bromophenyl)[2-chloro-4-(\(\mathbb{E}\)-((thiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide; (2-Bromophenyl)[2-chloro-4-(E-((4-(1-benzimidazol-2-only)piperidin-1-yl)carbonyl) 15 ethenyl)phenyl] sulfide; (2-Bromophenyl)[2-chloro-4-(E-((2-etrahydroisoquinolinyl)carbonyl)ethenyl)phenyl] sulfide; (2-Methylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide; (2-Methylphenyl)[2-trifluoromethyl-4-(E-((1-morpholinyl)carbonyl)ethenyl)phenyl] 20 sulfide;

(2-Methylphenyl)[2-trifluoromethyl-4-(E-((2-(1-morpholinyl)ethylamino)carbonyl)

SURIUS

```
ethenyl)phenyl] sulfide; (2-Methylphenyl)[2-trifluoromethyl-4-( E-((4-phenylpiperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
```

- (2-Methylphenyl)[2-trifluoromethyl-4-(E-((3-(1-pyrrolidin-2-onyl)propylamino)
- 5 carbonyl) ethenyl)phenyl] sulfide;
 - (2-Methylphenyl)[2-trifluoromethyl-4-(E-

((cyclopropylamino)carbonyl)ethenyl)phenyl]

sulfide;

- (2,4-Dichlorophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]
- 10 sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(\dot{E} -((3-(1-pyrrolidin-2-only)propylamino)carbonyl) ethenyl)phenyl] sulfide;
 - (2,3-Dichlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 (4-Bromophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (4-Methylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(E-((4-(tert-butoxycarbonyl)piperazin-1-yl)carbonyl)
- 20 ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(2-furoylcarbonyl)piperazin 1-yl)carbonyl) ethenyl)phenyl] sulfide;

(2,4-Dichlorophenyl)[2-nitro-4-(E-((4-(methanesulfonyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;

Soffic

- (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonylmethyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
- 5 (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(diethylaminocarbonyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(*tert*-butoxycarbonylmethyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(E-((4-(carboxycarbonyl)piperazin-1-yl)carbonyl)
- 10 ethenyl)phenyl] sulfide;
 - (2,4-Dichlorophenyl)[2-nitro-4-(*E*-((4-(carboxymethyl)piperazin-1-yl)carbonyl) ethenyl)phenyl] sulfide;
 - (2-Methylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
- 15 (2-Chlorophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-Aminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-Hydroxymethylphenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
- 20 phenyl]sulfide;
 - (2-Ethylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

sulfide:
(2-tert-Butyl)

(2-130-Propylphenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-*tert*-Butylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

5 (2-Chlorophenyl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl))2-propenyl)phenyl] sulfide;

- (2-(1-Morpholinylmethyl)phenyl)[2-chloro-4-(E-((1-morpholinyl)carbonyl) ethenyl) phenyl] sulfide;
- 10 (2-(4-(1,3-Benzodioxolyl-5-methyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-(E-((1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
 - (2-(4-(*iso*-Propylaminocarbonylmethyl)piperazin-1-ylmethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
 - (2-((N-Ethoxycarbonylmethyl-N-methyl)aminomethyl)phenyl)[2-chloro-4-(E-((1-
- morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
 (2-Formylphenyl)[2-chloro-4-(E-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-(4-Formylpiperazin-1-ylmethyl)phenyl)[2-chloro-4-(*E*-((1-morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
 - (2-(E-((1-Morpholinyl)carbonyl)ethenyl)phenyl)[2-chloro-4-(E-((1-morpholinyl)
- 20 carbonyl)ethenyl)phenyl] sulfide;
 - (2-Formylphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

```
(2-Rormylphenyl)[2-chloro-4-( E-((1-morpholinyl)carbonyl)ethenyl)phenyl] sulfide,
              N,N-dimethyl hydrazone;
              (2-((3-(1-Morpholinyl)propyl)-1-amino)phenyl)[2-chloro-4-(E-((1-
              morpholinyl)carbonyl) ethenyl)phenyl] sulfide;
              (2,4-Dichlorophenyl)[2-bromo-4-( E-((3-(1-pyrrolidin-2-only)propylamino)carbonyl)
              ethenyl)phenyl]\sulfide;
              (2,4-Dichlorophenyl)[2-formyl-4-( E-((1-morpholinyl)carbonyl)ethenyl)phenyl]
D9541795.0331dO
              sulfide;
              (2-Chloro-6-formylphenyl)[2-chloro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
        10
              phenyl] sulfide;
              (2-Cyanophenyl)[2-chloro-4](E-((4-acetylpiperazin-1-yl)carbonyl)
              ethenyl) phenyl] sulfide;
               (2-Isopropylphenyl)[2-cyano-4-( E-((morpholin-1-yl)carbonyl)
              ethenyl) phenyl] sulfide;
        15
               (2-Bromophenyl)[2-nitro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
              ethenyl) phenyl] sulfide;
               (2-(Pyrrolidin-1-yl)phenyl)[2-chloro-4-( E-((morpholin-1-yl)carbonyl)
              ethenyl) phenyl] sulfide;
              (2-Methoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
        20
              (2-Isopropylphenyl)[2-nitro-4-( E-((3-carbomethoxypiperazin-1-yl)carbonyl)
              ethenyl) phenyl] sulfide;
```

15

20

ethenyl) phenyl] sulfide;

```
431
(2-Methylphenyl)[2-nitro-4-( E-((3-carboxamido-4-carbobenzoxypiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-( E-((2-carbomethoxy-4-tert-butoxycarbonylpiperazin-
1-yl)carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-( E-((2-carboxy-4-tert-butoxycarbonylpiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-( E-((morpholin -1-yl)carbonyl)
ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-
ylamino)carbonyl) ethenyl)phenyl sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-( E-((cyclobutylamino)carbonyl)
ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-(\tilde{E}_{\vec{s}}((cyclopentylamino)carbonyl)
ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-trifluoromethyl-4-( E-((5-hydroxypent-1-ylamino)carbonyl)
ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-( E-((3-carbomethoxy-4-acetylpiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(2-Biphenyl)[2-chloro-4-( E-((morpholin-1-yl)carbonyl)
```

```
(3,4-Dimethylphenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-
             yl)carbonyl)ethenyl)phenyl]sulfide;
              (2-Bromophenyl)[2-trifluoromethyl-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
             ethenyl) phenyl] sulfide;
             (5-Indolyl)[2-chloro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
             ethenyl) phenyl sulfide;
              (5-Benzodioxolyl)[2-chloro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
ethenyl) phenyl] sulfide;
             (2-Isopropylphenyl)[2-nitro-4-( E-((2-carbomethoxypiperazin-1-yl)carbonyl)ethenyl)
       10
             phenyl] sulfide;
             (2,3-Dimethoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]
             sulfide;
             (2-Fluorophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-
             yl)carbonyl)ethenyl)phenyl]sulfide;
       15
              (2-Bromophenyl)[2-trifluoromethyl-4-(E-)((4-(tert-butoxycarbonyl)piperazin-1-
             yl)carbonyl)ethenyl) phenyl] sulfide;
              (2-(Pyrrolidin-1-yl)phenyl)[2-trifluoromethyl-4-\(\(E\)-((4-(tert-
             butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
              (3-Carboxamidophenyl)[2-nitro-4-( E-((4-acetylpiperažin-1-yl)carbonyl)
       20
             ethenyl) phenyl] sulfide;
              (3-(Hydroxymethyl)phenyl)[2-nitro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)
             ethenyl) phenyl] sulfide;
```

G W 6

Phenyl[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-trifluoromethyl-4-(*E*-((2-carbomethoxy-4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-4-methylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 (2-Ethoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
 (2-Methoxyphenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1
 - yl)carbonyl)ethenyl)phenyl]sulfide;

ethenyl) phenyl] sulfide;

- 10 (2-(Azetidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 (2-(Piperidin-1-yl)phenyl)[2-trifluoromethyl-4-(*E*-((4-(*tert*-butoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- (3-Chloro-2-formylphenyl)[2-chloro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)
 - (2-Trifluoromethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl) ethenyl) phenyl] sulfide;
 - (3-Bromophenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl) ethenyl) phenyl] sulfide;
- 20 (3,5-Dimethylphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl) ethenyl) phenyl] sulfide;

SURILES

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-(pyridine-4-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-carbomethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-morpholinocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(E-((3-(pyridine-4-methylaminocarbonyl)piperazin-1-
- 10 yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(\dot{E} -(((3-dimethylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(benzylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 (2-Bromophenyl)[2-chloro-4-(E-((3-(5S-hydroxymethyl-pyrrolidin-2-on-1-yl)prop-1
 - ylamino)carbonyl) ethenyl)phenyl]sulfide;
 - (2-Bromophenyl)[2-chloro-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)
- 20 ethenyl)phenyl]sulfide;
 - (2-Bromophenyl)[2-chloro-4-(E-(N-methyl-N-(3-(pyrrolidin-2-on-1-yl)prop-1-yl)amino)carbonyl) ethenyl)phenyl]sulfide;

(2-[2-Methoxy]ethoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((3-(morpholinocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (2-Isopropylphenyl)[2-nitro-4-(E-((4-tert-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((4-methoxycarbonylpiperazin-1-

yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-(4-(pyridine-4-carbonyl)piperazin-1-

10 yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((3-(pyridine-3-methylaminocarbonyl)-4-tert-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-2-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(pyridine-3-methylaminocarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

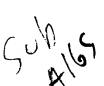
(4-Hydroxyphenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-

yl)carbonyl)ethenyl)phenyl]sulfide;

 $(3,5\text{-Dichlorophenyl}) [2\text{-nitro-4-(}\textit{E-((4-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1-acetylpiperazin-1$

20 yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(E-((3-(5*S*-acetoxymethyl-pyrrolidin-2-on-1, yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;



(2-Bromophenyl)[2-chloro-4-(E-((3-(5*S*-methoxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

(2-Bromophenyl)[2-chloro-4-(E-((3-(4*R*-hydroxymethyl-pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

Phenyl[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Dimethylaminophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)
ethenyl) phenyl] sulfide;

(3-((2-Hydroxyethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)phenyl]

(3-((2-Hydroxyethyl)aminocarbonyl)phenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) sulfide;

(3-((3-(1-Imidazolyl)propyl)aminocarbonyl)phenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
(3-((2-(1-Morpholinyl)ethyl)aminocarbonyl)phenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((3-hydroxymethyl-4-tert-

butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-formylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-hydroxymethyl-4-*tert*-butoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

20 (2-Ethoxyphenyl)-[2-chloro-4(E-[(3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl) phenyl]sulfide;

```
Aminophenyl)[2-nitro-4-( E-((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl)phenyl]sulfide;
      (4-Aminophenyl)[2-nitro-4-( E-((4-acetylpiperazin-1-
      yl)carbon'xl)ethenyl)phenyl]sulfide;
 5
      (2,4-Dimethylphenyl)[2- nitro-4-( E-((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl)phenyl]sulfide;
      (2,5-Dimethylphenyl)[2- nitro-4-( E-((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl)phenyl]sulfide;
      (4-Methoxyphenyl)[2-nitro-4-( E-((4-acetylpiperazin-1-
10
      yl)carbonyl)ethenyl)phenyl]sulfide;
      (3-Chlorophenyl)[2-nitro-4-(E_{\overline{s}}((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl)phenyl]sulfide;
      (2-Chloro, 4,5-diaminophenyl)[2-chloro-4-( E-((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl) phenyl] sulfide;
15
      (3,4-Diaminophenyl)[2-chloro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
      phenyl]
      (6-Chlorobenzimidazol-2-on-5-yl)[2-chloro-4-( E-((4-acetylpiperazin-1-
      yl)carbonyl)ethenyl) phenyl] sulfide;
      (1-Methylindol-7-yl)[2-chloro-4-( E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
20
      phenyl] sulfide;
      (2-Hydroxy, 4-aminophenyl)[2-chloro-4-( E-((4-acetylpiperazin-
      yl)carbonyl)ethenyl) phenyl] sulfide;
```

(2-Isopropylphenyl)[2-nitro-4-(E-((4-methylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((4-(pyridine-2-carbonyl)piperazin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((4-(pyridine-3-carbonyl)piperazin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((2-carbomethoxy-4-methoxycarbonylpiperazin-1oosurass. yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((2-carboxy-4-methoxycarbonylpiperazin-1-10 yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(\dot{E} -((3-carbomethoxy-4-methylpiperazin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

15 (2-Ethoxyphenyl)-[2-chloro-4(E-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(E-[(2-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl) phenyl]sulfide;

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((1-(tert-butoxycarbonyl)-4-

20 hydroxypyrrolidin-3-ylamino)carbonyl)ethenyl) phenyl] sulfide;

(2-Ethoxyphenyl)-[2-chloro-4(*E*-[(2-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

Soft of

```
(2-Ethoxyphenyl)[2-trifluoromethyl-4-(\textit{E-(((pyrrol-3-in-1-yl)carbonyl)ethenyl)}] phenyl] sulfide; \\ (2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)[2-trifluoromethyl-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl)prop-1-yl
```

- 5 (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((4-(ethoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((4-(2-furylcarbonyl)piperazin-1-
- 10 yl)carbonyl)ethenyl) phenyl] sulfide;

ylamino)carbonyl) ethenyl)phenyl]sulfide;

- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(3-ethoxycarbonylpiperidin-1-yl)carbonyl]ethenyl) phenyl]sulfide;
- (2-Ethoxyphenyl)-[2-chloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;
- (Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-ethoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(E-((4-isopropoxycarbonylpiperazin-1-
- 20 yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-isobutoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

phenyl] sulfide

phenyl] sulfide;

```
(2-Isopropylphenyl)[2-nitro-4-( E-((4-((1-propen-2-oxy)carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-( E-((4-propionylpiperazin-1-yl)carbonyl)ethenyl)
```

- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboxamidopiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methylaminocarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(E-((4-(pyrimidin-2-yl)piperazin-1-
- yl)carbonyl)ethenyl) phenyl] sulfide;(2-Isopropylphenyl)[2-nitro-4-(E-((4-hydroxyacetylpiperazin-1-yl)carbonyl)ethenyl)
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-(pyrazine-2-carbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-trifluoromethyl-4-(E-(((2-carboxxpyrrol-3-in-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-hydroxymethyl-4-methylpherazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-trifluoromethyl-4-(E-(((2-carboxypyrrol-3-in-1-
- 20 yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-trifluoromethyl-4-(E-(((2-hydroxymethylpyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

phenyl] sulfide;

```
2-Isopropylphenyl)[2-nitro-4-( E-((3-methylaminocarbonyl)piperazin-1-
             yl) carbonyl) ethenyl) phenyl] sulfide;
              (2-Isopropylphenyl)[2-nitro-4-( E-(((3-cyclopropylaminocarbonyl)piperazin-1-
             yl)carbon ()ethenyl) phenyl] sulfide;
             (2-Isopropylphenyl)[2-nitro-4-( E-((3-carboxamidopiperazin-1-yl)carbonyl)ethenyl)
             phenyl] sulfide;
              (2-Isopropylphenyl) 2-nitro-4-( E-((3-carbomethoxy-4-oxopiperidin-1-
ngs41795 osilo
             yl)carbonyl)ethenyl) phenyl] sulfide;
              (2-Isopropylphenyl)[2-nitro 4-( E-((3,5-dimethylpiperazin-1-yl)carbonyl)ethenyl)
       10
             phenyl] sulfide;
             (1-Ethylindol-7-yl)[2-chloro-4-(E-\(4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl]
             sulfide;
              (3-[2-Methoxy]ethoxyphenyl)-[2-chloro-4)(E-[(morpholin-1-
             yl)carbonyl]ethenyl)phenyl] sulfide;
       15
             (2-Bromophenyl)[2-chloro-4-(E-((4,4'-S-dioxythiomorpholin-1-yl)carbonyl)
             ethenyl)phenyl]sulfide;
              (2-Bromophenyl)[2-chloro-4-(E-(N-carbomethoxymethxl-N-(3-(pyrrolidin-2-on-1-
             yl)prop-1-yl)amino)carbonyl) ethenyl)phenyl]sulfide;
              (2-Bromophenyl)[2-chloro-4-(E-((4-S-oxythiomorpholin-1-yl)\2
       20
             pyrrolidinone)carbonyl) ethenyl)phenyl]sulfide;
             (2-Methoxy-5-chlorophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
```

Syl)

5

10

15

```
(\lambda-\text{Isopropylphenyl})[2-\text{nitro-4-}(E-((3-\text{acetoxymethyl})\text{piperazin-1-})
yl)cakbonyl)ethenyl) phenyl] sulfide;
(2-Isoptopylphenyl)[2-nitro-4-( E-((3,5-dimethyl-4acetylpiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(1-Methylind\(\frac{1}{2}\)-5-yl)[2-chloro-4-(\(E\)-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[\frac{1}{2}-nitro-4-(\int E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl]
sulfide;
(Benzodioxan-6-yl)[2-nitro-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl)
ethenyl)phenyl]sulfide;
(Benzodioxan-6-yl)[2-nitro-4-(E-\(3-carboethoxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2-nitro-4-( E-((4-carboethoxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Ethoxyphenyl)[2-trifluoromethyl-4-(Z-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((6-methylpyrid-2-
ylamino)carbonyl)ethenyl) phenyl] sulfide;
(2-Methyl-3-chlorophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-\frac{1}{2})carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2-nitro-4-( E-((3-carboxamidopiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
```

15

20

(Renzodioxan-6-yl)[2-nitro-4-(E-((2-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide; (Benzodi\u00e7xan-6-yl)[2-nitro-4-(E-((4-carboxamidopiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide; (Benzodioxan-6-yl)[2-nitro-4-(E-((4-tert-butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((syn-3,5-dimethylmorpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro 4-(E-((anti-3,5-dimethylmorpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-\((3-carboethoxypiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-isopropoxycarbonylpiperazin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-(dimethylaminocarbonyl)-4-methylpiperazin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-carbomethoxy)4-hydroxypiperidin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-hydroxymethyl-4-hydroxypiperidin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((2-carbomethoxy-4-

(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

```
(2 Ethoxyphenyl)[2-trifluoromethyl-4-( E-((2-carbomethoxy-4-methyl piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
```

(2-Ethoxyphenyl)[2-trifluoromethyl-4-(E-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (Indol-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 (1-Ethyl,3-(dimethylaminomethyl)indol-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 (5-Ethoxybenzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-
 - (5-Ethoxybenzodioxan-6-yl)[2-chloro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 10 (2-Ethyl-4-bromophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(*E*-((2-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-nitro-4-(E-((4-carbdxymethylpiperazin-1-yl)

- carbonyl)ethenyl) phenyl] sulfide;
 - (3-Morpholinophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (5-Ethoxybenzodioxan-8-yl)[2-chloro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 20 (5-Chloro-8-ethoxyquinolin-7-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2\Isopropylphenyl)[2-nitro-4-(\int E-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl\] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide,

- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-(((3-ethanesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(E-(((3-(4-methylpiperazine)

sulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(\dot{E} \(((3-p-toluenesulfonylaminocarbonyl)piperidin-1-
- 10 yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(E-((3-methyl-4-acetylpiperazin-1-

yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Hydroxyphenyl)-[2-chloro-4(E-[(morpholin-1/yl)carbonyl]ethenyl) phenyl] sulfide
- (1-(Carboxymethyl)indol-5-yl)[2-chloro-4-(E-((4-acetylpiperazin-1-
- 15 yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-acetylpiperazin-1-

yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((3-(1-pyrrolidin-2-onyl)prop-\(\frac{1}{2}\)-ylamino)

carbonyl)ethenyl) phenyl] sulfide;

20 (3-(2-Morpholinoethylamino)phenyl)[2-trifluoromethyl-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Ryrrolidin-1-ylphenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(3-Bromophenyl)[2-nitro-4-(*E*-((3-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (3-Bromophenyl)[2-nitro-4-(*E*-((4-carboethoxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-

10 ylamino)carbonyl) ethenyl)phenyl]sulfide;

(3-(Dimethylaminomethyl)indol-3-yl)[2-chloro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((2-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(E-((4-carboxypiperidin-1-))]carbonyl)ethenyl)

20 phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-(((4-*p*-toluenesulfonylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

15

20

yl)carbonyl)ethenyl) phenyl] sulfide;

SUM ALLS

```
\Q-Isopropylphenyl)[2-nitro-4-( E-((3-carboxy-4-hydroxypiperidin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((3-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((2-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-nitro-4-(E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-carboxypyrrolidin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((4-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyll sulfide:
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((2-carbomethoxy-4-tert-
butoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(\(\frac{1}{2}\)-((2-carbomethoxy-4-
methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((2\carbomethoxypiperazin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(2-Methyl-3-(carboethoxymethyl)indol-5-yl)[2-trifluoromethyl-4-( E-((morpholin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(1-(2-Methoxyethyl)indol-5-yl)[2-chloro-4-( E-((4-acetylpiperazin-1-
```

SJN BIG

(2 Isopropylphenyl)[2-nitro-4-(*E*-((3-acetoxymethyl-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

- (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(dimethylaminocarbonyl)-4-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 5 (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-cyanomorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4](E-((3-(tetrazol-5-yl)morpholin-1-

10 yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E_((2-carboxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-carbomethoxypiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-aza-6,9-diooxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoro-4-(E-((4-(benzimidazolon-1-yl)piperidin-1-

20 yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-(methylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

SAILS

(Renzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-carboxymorpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

5 (Benzodioxan-6-xl)[2-trifluoromethyl-4-(E-((2-carboxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((morpholin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)[2-trifluoromethyl-4-(E-((4-(pyrrolidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)piperidin-1-yl)p

10 yl)carbonyl)ethenyl) phenyl] sulfide,

(2-Isopropylphenyl)[2-nitro-4-(E-((3-aza-6,9-diooxaspiro[5.4]decan-1-yl)carbonyl)ethenyl) phenyl] sulfide;

 $(2-Isopropylphenyl)[2-nitro-4-(\emph{E-}((2-(dimethylaminomethyl)piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;$

15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((piperidin-1-ylamino)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-carboxy-4-

methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

20 yl)carbonyl)ethenyl) phenyl] sulfide;;

(2-Isopropylphenyl)[2-nitro-4-(E-((3-(2-(methoxymethyl)tetrazol-5-xl) piperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide

15

```
(2-Isopropylphenyl)[2-nitro-4-( E-((3-(1-(methoxymethyl)tetrazol-5-yl) piperidin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(1-Mathylindol-5-yl)[2-chloro-4-( E-((3-(1-pyrrolidin-2-onyl)propylamino)
carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-( E-((3-(tetrazol-5-yl) piperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(1-Methylindol-3-yl)[2-chloro-4-( E-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide
(1-Methylindol-5-yl)[2\chloro-4-( E-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(1-Methylindol-5-yl)[2-chloro-4-( E-((4-carboethoxypiperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(1-Methylindol-5-yl)[2-chloro-4-(\&-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-(E-((2-(1-\text{methylpyrrolidin-2-
yl)ethylamino)carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-(E-((4-(pyrrolid)n-1-yl)piperidin-1-yl)carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2-nitro-4-(E-((4-sulfopiperidin-l\yl)carbonyl)ethenyl) phenyl]
sulfide;
(2-Isopropylphenyl)[2-nitro-4-(E-((3-hydroxypiperidin-1-yl)carbonyl)ethenyl) phenyl]
sulfide;
```

50/2165

5

10

15

```
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-
((ethanesulfonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((3-((p-
toluenesul(fonylamino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxàn-6-yl)[2-trifluoromethyl-4-( E-((4-
((ethanesulfon amino)carbonyl)piperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((2(tetrazol-5-yl)morpholin-1-
yl)carbonyl)ethenyl) henyl] sulfide;
(2-Isopropylphenyl)[2-ntro-4-( E-((2-butyl, 5-(tetrazol-5-yl)morpholin-1-
yl)carbonyl)ethenyl) phenyl sulfide;
(2-(and 3-)(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-( E-((4-acetylpiperazin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(2-(and 3-)(Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-(E-((3-(pyrrolidin-2-on-1-
yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
(2-(and 3-)(Hydroxymethyl)-benzodioxan-&-yl)[2-trifluoromethyl-4-(E-((3-
(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
(3-Hydroxymethyl)-benzodioxan-6-yl)[2-nitro-4-\&-((3-(pyrrolidin-2-on-1-yl)prop-1-
ylamino)carbonyl) ethenyl)phenyl]sulfide;
(Benzodioxan-6-yl)[2-chloro-4-(E-((3-carboxypiperidin-\chi-yl)carbonyl)ethenyl)
phenyl]
(2-(and 3-)(Aminomethyl)-benzodioxan-6-yl)[2-trifluoromethyl]4-(E-((3-(pyrrolidin-
2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;
```

15

20

sulfide;

(\(\frac{1}{2}\)-Isopropylphenyl)[2-nitro-4-(\(E\)-((3-(methylaminocarbonyl)morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-(hydroxymethyl)morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-(acetoxymethyl)morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2\taunitro-4-(E-((3-(aminomethyl)morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((3-(acetamidomethyl)morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (Benzodioxan-6-yl)[2-chloro-4-(E-\(3-(pyrrolidin-2-on-1-yl)prop-1ylamino)carbonyl) ethenyl)phenyl]sulfide; (Benzodioxan-6-yl)[2-chloro-4-(E-((3-carboethoxypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide; (Benzodioxan-6-yl)[2-chloro-4-(E-((2-carboeth\u00e1xypiperidin-1-yl) carbonyl)ethenyl) phenyl] sulfide (2-Methoxyphenyl)-[2,3-dichloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide; (2-Methoxyphenyl)-[2,3-dimethyl-4(*E*-[(morpholin-1-yl)carbonyl]ethenyl)phenyl] sulfide; (2-Isopropylphenyl)[2-nitro-4-(E-((indol-5-ylamino)carbonyl)ethenyl) phenyl]

```
Benzodioxan-6-yl)[2-chloro-4-( E-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
             phenyl] sulfide;
              (Benzodioxan-6-yl)[2-chloro-4-( E-((3-(tetrazol-5-yl)piperidin-1-yl) carbonyl)ethenyl)
              phenyl] sulfide;
             (Benzodioxan-&-yl)[2-chloro-4-( E-((4-(tert-butoxycarbonyl)piperazin-1-yl)
              carbonyl)ethenyl) phenyl] sulfide;
              (Benzodioxan-6-yl)[2-chloro-4-( E-((2-carboxypiperidin-1-yl) carbonyl)ethenyl)
OSS+1795 OSTIOO
             phenyl] sulfide;
              (Benzodioxan-6-yl)[2-chloro-4-( E-((3-(tetrazol-5-yl)morpholin-1-yl)
       10
              carbonyl)ethenyl) phenyl] sulfide;
              (Benzodioxan-6-yl)[2-chloro-4-( E\((4-(methylaminocarbonyl)piperazin-1-yl)
              carbonyl)ethenyl) phenyl] sulfide;
              (2-Methoxyphenyl)-[2,3-dichloro-4(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)
              phenyl] sulfide;
       15
              (Benzodioxan-6-yl)[2-chloro-4-(E-((4-(tetraz\deltal-5-yl)piperidin-1-yl) carbonyl)ethenyl)
              phenyl] sulfide;
              (2-Methoxyphenyl)-[3-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
              (2-Isopropylphenyl)[2-nitro-4-(E-((4-oxopiperidin-1-yl)carbonyl)ethenyl) phenyl]
              sulfide;
              (Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((3-R-carboethox))piperidin-1-
       20
              yl)carbonyl)ethenyl) phenyl] sulfide;
```

10

15

20

carbonyl)ethenyl) phenyl] sulfide;

```
(Benzodioxan-6-yl)[2-trifluoromethyl-4-( E-((3-R-carboxypiperidin-1-
yl)carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-
ylamino)carbonyl) ethenyl)phenyl]sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-( E-((4-acetylpiperazin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-( E-((3-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-( E-((4-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-( E-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-(\&-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-\text{Isopropylphenyl})[2,3-\text{dichloro-}4-(E-((3\)\((1-\text{pyrrolidin-}2-\text{onyl})\text{propylamino})
carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-( E-((4-acetylpiperazin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-( E-((3-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-( E-((4-carboethoxypipexidin-1-yl)
```

phenyl] sulfide;

```
(2-kopropylphenyl)[2,3-dichloro-4-( E-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
              phenyl sulfide;
              (2-Isopropylphenyl)[2,3-dichloro-4-( E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
              phenyl] sulfide;
              (1-Methylindol-\S-yl)[2,3-dichloro-4-(E-((3-carboethoxypiperidin-1-yl)
              carbonyl)ethenyl) phenyl] sulfide;
              (1-Methylindol-5-yl)[2]_3-dichloro-4-(E-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
DOSHIYOS DESIDO
              phenyl] sulfide;
              (1-Methylindol-5-yl)[2,3-dichloro-4-( E-((4-carboethoxypiperidin-1-yl)
        10
              carbonyl)ethenyl) phenyl] sulfide
              (1-Methylindol-5-yl)[2,3-dichloro-4](E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
              phenyl] sulfide;
              (2-Ethoxyphenyl)-[2,3-dichloro-4(E-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)
              phenyl] sulfide;
        15
              (2-Ethoxyphenyl)-[2,3-dichloro-4(E-[(morpholin\1-yl)carbonyl]ethenyl)phenyl]
              sulfide;
              (2-Ethoxyphenyl)-[2,3-dichloro-4(E-[(3-carboxypiperidin-1-yl)carbonyl]ethenyl)
              phenyl] sulfide;
              (2-Isopropylphenyl)[2-nitro-4-(E-((3-carboethoxypyrrolidin-<math>1-yl)carbonyl)ethenyl)
       20
              phenyl] sulfide;
              (2-Isopropylphenyl)[2-nitro-4-( E-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl)
```

 Ω -Isopropylphenyl)[2,3-difluoro-4-(E-((3-carboethoxypiperidin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2,3-difluoro-4-(E-((3-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide; (2-Isopropylphenyl)[2,3-difluoro-4-(E-((4-carboxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide; (Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-ethoxycarbonylpyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide (Benzodioxan-6-yl)[2-trifludromethyl-4-(E-((3-carboxypyrrolidin-1-10 yl)carbonyl)ethenyl) phenyl] sulfide; (2-Methoxyphenyl)[2-chloro-3-triflyoromethyl-4-(E-((4-carboethoxypiperidin-1yl)carbonyl)ethenyl) phenyl] sulfide; (2-Methoxyphenyl)[2-chloro-3-trifluoromethyl-4-(E-((4-carboethoxypiperidin-1yl)carbonyl)ethenyl) phenyl] sulfide; 15 (2-Methoxyphenyl)[2-chloro-3-trifluoromethyl-4-(E-((morpholin-1yl)carbonyl)ethenyl) phenyl] sulfide; (Benzodioxan-6-yl) [4-(E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)naphthyl] sulfide; (2-Methoxyphenyl) [2,3-dichloro-4-(E-((4-(spiro-hydantoin\5-yl)-piperidin-1-20 yl)carbonyl)ethenyl)phenyl] sulfide; (2-Methoxyphenyl) [2,3-dichloro-4-(E-(4-(2-(2-hydroxyethoxy)ethyl)piperazin-1yl)carbonyl)ethenyl)phenyl] sulfide;

10

15

20

yl)carbonyl)ethenyl)phenyl] sulfide;

```
-Methoxyphenyl) [2,3-dichloro-4-(E-((4-ethylpiperazin-1-
yl)carbonyl)ethenyl)phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-(E-((4-(2-(2-hydroxyethoxy)ethyl)piperazin-1-
yl)carbonyl)ethenyl)phenyl] sulfide;
(Benzodioxan-6yl)[2,3-bis(trifluoromethyl)-4-(E-((4-carboxypiperidin-1-
yl)carbonyl)ethenyl)phenyl]sulfide;
(2-Methoxyphenyl) [2,3-dichloro-4-(E-((4-(carboxymethylamino)carbonyl-piperidin-
1-yl)carbonyl)ethenyl)phenyl] sulfide;
(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-carboxymethylpiperazin-1-yl)
carbonyl)ethenyl)phenyl]sulfide;
(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-N-(2-hydroxyethyl)piperazin-
1-yl)carbonyl)ethenyl)phenyl]sulfide
(1-Methylindol-5-yl) [2,3-dichloro-4-(E_7((4-(carbo-2,3-
dihydroxypropylamino)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
(2-Methoxyphenyl) [2,3-dichloro-4-(E-(4-(2,\frac{1}{2}-dihydroxypropionyl)piperazin-1-
yl)carbonyl)ethenyl)phenyl] sulfide;
(2-Methoxyphenyl) [2,3-dichloro-4-( E-(4-(2,3-dih)droxy-3-
carboxypropionyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
(1-Methylindol-5-yl) [2,3-dichloro-4-(E-((4-(carboxymethylamino)carbonyl-
piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
(1-Methylindol-5-yl) [2,3-dichloro-4-(E-((4-sulfopiperidin-1-
```

10

15

(1\Methylindol-5-yl) [2,3-dichloro-4-(E-(4-methylhomopiperazin-1ylcarbonyl)ethenyl)phenyl] sulfide; (1-Methylindol-5-yl) [2,3-dichloro-4-(E-(4-tetrohydrofuroylpiperazin-1yl)carbonyl)ethenyl)phenyl] sulfide; (2-Methoxyphenyl) [2,3-dichloro-4-(E-((4-amino-4-carboxypiperidin-1yl)carbonyl)ethenyl)phenyl] sulfide; (2-Methoxyphenyl)[2\,3-dichloro-4-((4-furoylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide; (1-Methylindol-5-yl) [2,3-dichloro-4-(E-(4-(carbo-3-sulfopropylamino)piperadin-1yl)carbonyl)ethenyl)phenyl] sulfide; (2-Methoxyphenyl)[2,3-dichloro\4-(E-(4-acetylamino-4-carboxypiperidin-1ylcarbonyl)ethenyl)phenyl] sulfide; (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-carboxypiperidin-1yl)carbonyl)ethenyl)phenyl]sulfide; (2-Methoxyphenyl) 5-[8-(E-((4-(aminocarbonyl)piperidin-1yl)carbonyl)ethenyl)quinolinyl]sulfide; (2-Methoxyphenyl) [2-trifluoromethyl-4-(E-((4-carboxypiperidin-1yl)carbonyl)ethenyl)phenyl]sulfide; (1-Methylindol-5-yl) [2,3-dichloro-4-(E/Z-((1S,4S)-2,5-diazabycyclo(2,2,1)heptan-

20 2-ylcarbonyl)ethenyl)-2,3-dichlorophenyl] sulfide; (1-Methylindol-5-yl) [2,3-dichloro-4-(E-(4-hydroxy-3-carboxypiperadin-1ylcarbonyl)ethenyl)phenyl] sulfide;;

50/165

(\lambda-Methylindol-5-yl) [2,3-dichloro-4-(E-(S-oxothiomorpholin-1-ylcarbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(E-((4-

sulfophenylamino)carbonyl)ethenyl)phenyl] sulfide;

5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((4-carboxyphenylamino)carbonyl)ethenyl)phenyl] sulfide;
[3-(4-Morpholino)phenyl] [2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-

yl)carbonyl]ethenyl)phenyl] sulfide;

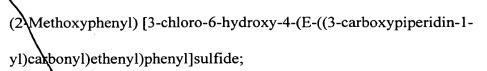
[3-(4-Morpholino)phenyl] [2,3-dichloro-4-(E-((4-carboxypiperidin-1-

10 yl)carbonyl)ethenyl)phenyl] sulfide;

(2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(E-((4-phenylcarboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(((4-hydroxylaminocarbonyl)piperidin-1-yl)carbonyl)ethenyl) sulfide;

15 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-((N-carboxymethyl-N-phenylamino)carbonyl)ethenyl)phenyl] sulfide;



(2-Methoxyphenyl) [2,3-dichloro-4-(E-(4-((1-(2-phenyl-1-

carboxyeth (amino) carbonyl) piperidin-1-yl) carbonyl) ethenyl) phenyl] sulfide;

5 (2-Methoxyphenyl) [2,3-dichloro-4-(*E*-(4-((1-(2-hydroxy-1-carboxyethyl)amino)carbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide; (3-(1-(3-Carboxypiperidinyl)phenyl)[2,3-dichloro-4-(E-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl]sulfide;

(3-(4-Pyrrolidin-1-yl)piperidin-1-yl)phenyl) [2,3-dichloro-4-(E-(((3-(2-pyrrolidinon-

10 1-yl)propylamino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-(Spiro-2,2-dioxolanyl)piperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-morpholinyl)carbonyl)ethenyl)phenyl]sultide;

[3-(3-Carboxylpiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

15 (2-(2-Carboxy)ethenyl)phenyl) [2,3-dichloro-4-(E-(4-morpholinyl)carbonyl)ethenyl)phenyl]sulfide;
[3-(4-Carboxylpiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[1],2,3,6-

tetrahydropyridine)-1-yl)carbonyl]ethenyl)phenyl] sulfide; [3-(4-Carboxylpiperidinyl)phenyl] [2,3-dichloro-4-(*E*-[(4-

20 morpholinyl)carbonyl]ethenyl)phenyl] sulfide;

[2-(4-Acetylpiperazin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

3 (3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-[(4-morpholinyl)carbonyl]ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(4-

(dimethylaminosulfamoyl)piperazin-1-yl)carbonyl]ethenyl)phenyl] sulfide;

- 5 (2-Methoxyphenyl)[2,3-bis(trifluoromethyl)-4-(E-((3-carboxypiperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
 - (2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((2-carboxypyrrolidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
 - [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-
- 10 ((trifluoromethylsulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-Methoxyphenyl)[2,3-dichloro-\(\frac{1}{2}\)-(E-(piperidin-1-ylcarbonyl)ethenyl)phenyl] sulfide;
 - (2-Hydroxyphenyl) [2,3-dichloro-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- (2-Methoxyphenyl) [2,3-dichloro-4-(E-((((4-carboxyphenyl)methyl)amino)carbonyl)ethenyl)phenyl]sulfide;
 (2-Methoxyphenyl) [2,3-dichloro-4-(E-(((4-pyrrolidin-1-yl)piperidin-1-yl)carbonyl)ethenyl)phenyl]sulfide;
 - (2-Hydroxyphenyl)[2,3-dichloro-4-(E-((4- carboxypiperidin-1-
- 20 yl)carbonyl)ethenyl)phenyl]sulfide;
 - [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4- (methylsulfonyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;



(2 Aminophenyl) [2,3-dichloro-4-(*E*-((4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

50/2/6

(3-(4-carboxypiperidin-1-yl)phenyl)[2,3-dichloro-4-(*E*-((S-oxothiomorpholin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

- 5 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-Glycoxyphenyl) [2,3-dichloro-4-(E-((4-

morpholino)carbonyl)ethenyl)phenyl]sulfide;

(2-(4-Butyroxy)phenyl)[2,3-dichloro-4-(E-((4-

10 morpholino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-Carboxypiperidin-1-yl)phehyl] [2,3-dichloro-4-(E-((4-hydroxyethylpiperazin-

1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-furoylpiperazin-1-

yl)carbonyl)ethenyl)phenyl] sulfide;

15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((pyrrolidin-1-

yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4(E-

((diethylaminocarbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-ethylpiperazin-

20 yl)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-((4-

(aminocarbonyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

(ethoxyethyl)piperio

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(*E*-((4-(2-(ethoxyethyl)piperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[3-((4-Carboxymethyl)piperazin-1-yl)phenyl] [(2,3-dichloro-4-(E-(4-morpholinyl)carbonyl)ethenyl)phenyl] sulfide;

- 5 [3-(4-Carboxyp)peridin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
 (3-Hydroxyphenyl) [2,3-dichloro-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
- 10 morpholino)carbonyl)ethenyl)phenyl]sulfide;
 (2-Hydroxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;
 (3-Hydroxyphenyl)[2,3-bis(trifluoromethyl)-4-(E-((4-morpholino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-Butyroxy)phenyl] [2,3-dichloro-4-(E-((4-

15 [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(*E*-((4-hydroxypiperidin-1-yl)carbonyl)ethenyl)phenyl] sulfide; [3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(*E*-((1,2,5,6-tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

2 BIG

[2-((4-Carboxy)butyloxy)phenyl] [2,3-dichloro-4-(E-((4-

morpholino)carbonyl)ethenyl)phenyl]sulfide;

(2-Glyoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-

morpholine)carbonyl)ethenyl)phenyl]sulfide;

5 (2-(4-Butyroxy)phenyl)[2,3-bis(trifluoromethyl)-4-(E-((4-

morpholino)carbonyl)ethenyl)phenyl]sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-ditrifluoromethyl-4-(E-((bis-(2-

ethoxyethyl)amino)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-\file\lambdal)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((bis-(2-

10 hydroxypropyl)amino)carbonyl)ethenyl)phenyl] sulfide;

[3-(4-Carboxypiperidin-1-yl)phenyl] [2,3-bis-(trifluoromethyl)-4-(E-((piperazin-1-

yl)carbonyl)ethenyl)phenyl] sulfide;

(3-(4-Butyroxy)phenyl)[2,3-bis(trifluoromethyl)-4-(E-((4-

morpholino)carbonyl)ethenyl)phenyl]sulfide;

15 [2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-[(3-(2-pyrrolidinon-1-

yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(E-[(3-(2-

pyrrolidinon-1-yl)propylaminocarbonyl)ethenyl)phenyl] sulfide;

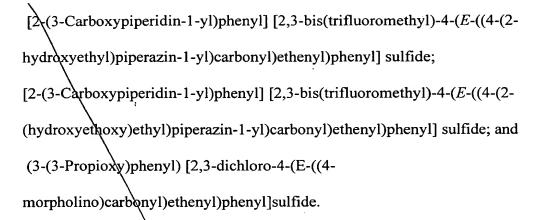
[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-dichloro-4-(E-(($\frac{1}{2}$ -(2-

20 hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;

[2-(3-Carboxypiperidin-1-yl)phenyl] [2,3-bis(trifluoromethyl)-4-(2,5,6-

tetrahydropyridin-1-yl)carbonyl)ethenyl)phenyl] sulfide;





- 13. A compound according to Claim 1 selected from the group consisting of:
- 10 (2-Formylphenyl)[2-nitro-4-\ E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)phenyl] sulfide;
 - (2-Ethoxyphenyl)-[2-chloro-4(E-[(morpholin-1-yl)carbonyl]ethenyl)phenyl]sulfide;
 - (2-Isopropylphenyl)[2-nitro-4-(*E*-((3-dimethylaminocarbonyl-4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
- 15 (2-Isopropylphenyl)[2-nitro-4-(*E*-((4-methoxycarbonylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Ethoxyphenyl)[2-trifluoromethyl-4-(*E*-((2-carboxy-4-(methoxycarbonyl)piperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;
 - (2-Ethyl-4-bromophenyl)[2-nitro-4-(E-((4-acetylpiperazin-1-yl)carbonyl)ethenyl)
- 20 phenyl] sulfide;
 - (3-Morpholinophenyl)[2-nitro-4-(*E*-((4-acetylpiperazin-1-yl)carbonyl)ethenyl) phenyl] sulfide;



(2 Isopropylphenyl)[2-nitro-4-(*E*-((3-carboethoxypiperidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(2-Isopropylphenyl)[2-nitro-4-(*E*-((3-(1-pyrrolidin-2-onyl)prop-1-ylamino) carbonyl)ethenyl) phenyl] sulfide;

 $(2-(Hydroxymethyl)-benzodioxan-6-yl)[2-chloro-4-(\emph{E-}((4-acetylpiperazin-1-yl)carbonyl)etheryl) phenyl] sulfide;$

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(*E*-((3-carboxypyrrolidin-1-yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((4-carboethoxypiperidin-1-yl)

10 carbonyl)ethenyl) phenyl] sulfide;

carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((2-carbomethoxy-4-methoxycarbonylpiperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((2-carbomethoxypiperazin-1-yl)

(Benzodioxan-6-yl)[2-chloro-4-(*E*-((4-(methylaminocarbonyl)piperazin-1-yl) carbonyl)ethenyl) phenyl] sulfide;

(2-Methoxyphenyl)-[2,3-dichloro-4(*E*-[(4-carboxypiperidin-1-yl)carbonyl]ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2-trifluoromethyl-4-(E-((3-R-carboethoxypiperidin-1-

20 yl)carbonyl)ethenyl) phenyl] sulfide;

(Benzodioxan-6-yl)[2,3-dichloro-4-(E-((3-(pyrrolidin-2-on-1-yl)prop-1-ylamino)carbonyl) ethenyl)phenyl]sulfide;

20



```
(\text{Benzodioxan-6-yl})[2,3-\text{dichloro-4-}(E-((4-\text{acetylpiperazin-1-yl}) carbonyl))]
phenyl] sulfide;
(Benzddioxan-6-yl)[2,3-dichloro-4-( E-((3-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-yl)[2,3-dichloro-4-( E-((4-carboethoxypiperidin-1-yl)
carbonyl)ethenyl) phenyl] sulfide;
(Benzodioxan-6-\chil)[2,3-dichloro-4-( E-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(Benzodioxan-6-yl)[2\sqrt{3}-dichloro-4-( E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-( E-((4-acetylpiperazin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichlor 2-4-(E-((3-carboethoxypiperidin-1-yl))]
carbonyl)ethenyl) phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-(\(\mathbb{E}\)-((3-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Isopropylphenyl)[2,3-dichloro-4-(E-((4-carboxypiperidin-1-yl) carbonyl)ethenyl)
phenyl] sulfide;
(2-Methoxyphenyl) [2,3-dichloro-4-(E-((4-(carboxymethylamino)carbonyl-piperidin-
1-yl)carbonyl)ethenyl)phenyl] sulfide;
(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-carboxymethylpiperazin-1-yl)
carbonyl)ethenyl)phenyl]sulfide; and
```



(2-Methoxyphenyl) [2,3-bis(trifluoromethyl)-4-(E-((4-N-(2-hydroxyethyl)piperazin-1-yl)carbonyl)ethenyl)phenyl]sulfide.

Insert new compounds here

- 5 14. A compound according to Claim 1 wherein **Ar** is selected from the group consisting of methoxyphenyl and isopropylphenyl.
 - 15. A compound according to Claim 1 wherein **Ar** is benzodioxan or substituted benzodioxan.

10

20

- 16. A compound according to Claim 1 wherein R₃ is a "trans-cinnamide"; and **Ar** is selected from 1,3-benzimidazol-2-one, 1,4-benzodioxane, 1,3-benzodioxole, 1-benzopyr-2-en-4-one, indole, isatin, phenyl, 1,3-quinazolin-4-one, and quinoline.
- 17. A compound according to Claim 1 wherein R₁₀ and R₁₁ are independently selected from hydrogen, alkyl, cycloalkyl, alkoxycarbonylalkyl, hydroxyalkyl, and heterocyclylalkyl.
 - 18. A compound according to Claim 1 wherein NR₁₀R₁₁ is heterocyclyl or substituted heterocyclyl.

Ship

5

- 19. A pharmaceutical composition comprising a compound of Claim 1 in a pharmaceutically-acceptable carrier.
- 20. A method of inhibiting inflammation comprising the administration of a compound of Claim 1 to a mammal in need of treatment.
- 21. A method of inhibiting inflammation comprising the administration of a composition of Claim 12 to a mammal in need of treatment.
- 22. A method of suppressing immune response comprising the administration of a compound of Claim 1 to a mammal in need of treatment.
 - 23. A method of suppressing immune response comprising the administration of a composition of Claim 12 to a mammal in need of treatment.

15

24. A compound of formula II

i. \ hydrogen,

j. halogen,

k. alky

l. haloalk\(\chi\)l,

m. alkoxy,

n. cyano,

o. nitro, and

p. carboxaldehyde.

10

O9541795 O33100

10

15

25. A process for preparing a compound of formula II

$$R_1$$
 R_2 OH OH OH

which comprises:

a) reacting a compound of formula II'

$$R_1$$
 R_2 R_2 R_1 R_2 R_2 R_1 R_2 R_2 R_2 R_3 R_4 R_4 R_5 R_5

with lithium hydroxide, and

b) cleaving the resulting methyl ether.

26. The compound of Claim 1 with the proviso that when three of R_1 , R_2 , R_4 , and R_5 are hydrogen, then the remaining R_1 , R_2 , R_4 , or R_5 is not carboxyl, 5-tetrazolyl, hydroxymethyl or carboxyl derivatized in the form of a pharmaceutically acceptable ester.

add A166